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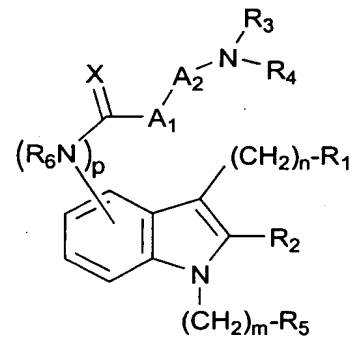
WHAT IS CLAIMED IS:

1. A compound of the following formula (I):



(I)

wherein:

A_1 and A_2 are each independently a D- or L-amino acid selected from the group consisting of alanine, β-alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, indanylglycine, lysine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), valine, methionine, proline, serine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), homoserine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), ornithine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl-β-alanine, and heteroaryl-β-alanine wherein the

substituents on the aromatic amino acid are independently selected from one or more of halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, C_1-C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylcarbonyl, cyano, aryl, heteroaryl, arC_1-C_4 alkyl, C_2-C_4 alkenyl, alkynyl, or nitro;

R_1 is selected from amino, C_1-C_8 alkylamino, C_1-C_8 dialkylamino, arylamino, arC_1-C_8 alkylamino, C_3-C_8 cycloalkylamino, heteroalkylC_1-C_8 alkylamino, heteroalkylC_1-C_8 alkyl-N-methylamino, C_1-C_8 dialkylaminoC_1-C_8 alkylamino, -N(C_1-C_8alkyl)-C_1-C_8 alkyl-N(C_1-C_8alkyl)$_2$, N(C_1-C_8 alkyl)(C_1-C_8 alkenyl), -N(C_1-C_8alkyl)(C_3-C_8cycloalkyl), heteroalkyl or substituted heteroalkyl wherein the substituent on the heteroalkyl is selected from oxo, amino, C_1-C_8 alkoxyC_1-C_8 alkyl, C_1-C_8 alkylamino or C_1-C_8 dialkylamino;

R_2 is selected from hydrogen, halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, arC_1-C_8 alkyl, aryl or heteroaryl;

R_3 and R_4 are each independently selected from hydrogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkylC_1-C_8 alkyl, aryl, heteroalkyl, substituted heteroalkyl (wherein the substituent on the heteroalkyl is one or more substituents independently selected from C_1-C_8 alkoxycarbonyl, C_1-C_8 alkyl, or C_1-C_4 alkylcarbonyl), heteroalkylC_1-C_8 alkyl, indanyl, acetamidinoC_1-C_8 alkyl, aminoC_1-C_8 alkyl, C_1-C_8 alkylaminoC_1-C_8 alkyl, C_1-C_8 dialkylaminoC_1-C_8 alkyl, unsubstituted or substituted heteroarylC_1-C_8 alkyl, or unsubstituted or substituted arC_1-C_8 alkyl, wherein the substituent on the aralkyl or heteroarylalkyl group is one or more substituents independently selected from halogen, nitro, amino, C_1-C_8 alkyl, C_1-C_8 alkoxy, hydroxy, cyano, C_1-C_4 alkylcarbonyl, C_1-C_8 alkoxycarbonyl, hydroxyC_1-C_8 alkyl or aminosulfonyl; or

R_3 and R_4, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from piperidinyl, piperazinyl, morpholinyl or pyrrolidinyl, wherein the substituent

is one or more substituents independently selected from C_1-C_8 alkyl C_1-C_8 alkoxycarbonyl or C_1-C_4 alkylcarbonyl;

R_5 is selected from unsubstituted or substituted aryl, arC_1-C_8 alkyl, C_3-C_8 cycloalkyl, or heteroaryl, where the substituents on the aryl, arC_1-C_8 alkyl, cycloalkyl or heteroaryl group are independently selected from one or more of halogen, nitro, amino, cyano, hydroxyalkyl, C_1-C_8 alkyl, C_1-C_8 alkoxy, hydroxy, C_1-C_4 alkylcarbonyl, C_1-C_8 alkoxycarbonyl, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy or C_1-C_4 alkylsulfonyl;

R_6 is selected from hydrogen or C_1-C_8 alkyl,

X is oxygen or sulfur;

m is an integer selected from 0, 1, 2 or 3;
n is an integer selected from 1 or 2; and
p is an integer selected from 0 or 1;

and pharmaceutically acceptable salts thereof.

2. The compound of Claim 1, wherein:

A_1 and A_2 are each independently an L-amino acid selected from the group consisting of alanine, β-alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, indanylglycine lysine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), valine, methionine, proline, serine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), homoserine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), ornithine

(optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl-β-alanine, and heteroaryl-β-alanine wherein the substituents on the aromatic amino acid are independently selected from one or more of halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, C_1-C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylcarbonyl, cyano, aryl, heteroaryl, arC_1-C_4 alkyl, C_2-C_4 alkenyl, alkynyl, or nitro;

R_1 is selected from amino, C_1-C_6 alkylamino, C_1-C_6 dialkylamino, arylamino, arC_1-C_6 alkylamino, heteroalkylC_1-C_6 alkylamino, -N(C_1-C_6 alkyl)-C_1-C_6 alkyl-N(C_1-C_6 alkyl)$_2$, heteroalkyl or substituted heteroalkyl wherein the substituent on the heteroalkyl is selected from oxo, amino, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 alkylamino or C_1-C_6 dialkylamino;

R_2 is selected from hydrogen, halogen or phenyl;

R_3 is selected from hydrogen or C_1-C_6 alkyl;

R_4 is selected from C_1-C_8 alkyl, C_3-C_6 cycloalkyl, C_3-C_6 cycloalkylC_1-C_6 alkyl, aryl, heteroarylC_1-C_6 alkyl, substituted heteroarylC_1-C_6 alkyl wherein the substituent is C_1-C_4 alkyl, heteroalkyl, heteroalkylC_1-C_6 alkyl, indanyl, acetamidinoC_1-C_6 alkyl, aminoC_1-C_6 alkyl, C_1-C_6 alkylaminoC_1-C_6 alkyl, C_1-C_6 dialkylaminoC_1-C_6 alkyl, arC_1-C_8 alkyl, substituted arC_1-C_8 alkyl wherein the substituent on the aralkyl group is one to five substituents independently selected from halogen, nitro, amino, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxycarbonyl, hydroxyalkyl or aminosulfonyl; or

R_3 and R_4, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected

from piperidinyl, piperazinyl or pyrrolidinyl, wherein the substituent is independently one or two substituents selected from C_1-C_6 alkyl;

R_5 is selected from unsubstituted or substituted aryl, arC_1-C_6 alkyl, C_3-C_6 cycloalkyl or heteroaryl, where the substituents on the aryl, aralkyl, cycloalkyl or heteroaryl group are independently selected from one to three substituents selected from halogen, cyano, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkoxycarbonyl, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy or C_1-C_4 alkylsulfonyl;

R_6 is hydrogen; and

X is oxygen; and

p is 1;

and pharmaceutically acceptable salts thereof.

3. The compound of Claim 2, wherein:

A_1 is an L-amino acid selected from the group consisting of alanine, arginine, cyclohexylalanine, glycine, proline, tetrahydroisoquinoline-3-COOH, and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, naphthylalanine, homophenylalanine, and O-methyl tyrosine, wherein the substituents on the aromatic amino acid are independently one to five substituents selected from halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, C_1-C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylcarbonyl, cyano, aryl, heteroaryl, arC_1-C_4 alkyl, C_2-C_4 alkenyl, alkynyl, or nitro;

A_2 is an L-amino acid selected from the group consisting of alanine, β-alanine, arginine, citrulline, cysteine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl,

C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), 2,3- diaminopropionic acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, lysine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), valine, methionine, serine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), homoserine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), threonine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), ornithine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, and histidine, wherein the substituents of the aromatic amino acid are independently one to five substituents selected from halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, C_1-C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylcarbonyl, cyano, aryl, heteroaryl, arC_1-C_4 alkyl, C_2-C_4 alkenyl, alkynyl, or nitro;

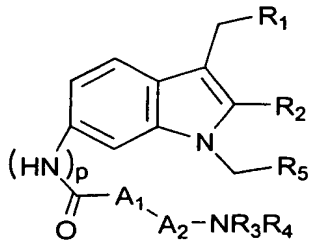
R_2 is selected from hydrogen, chlorine or phenyl;

R_3 is selected from hydrogen or C_1-C_4 alkyl; and

m and n are both 1;

and pharmaceutically acceptable salts thereof.

4. The compound of Claim 3 of the formula:

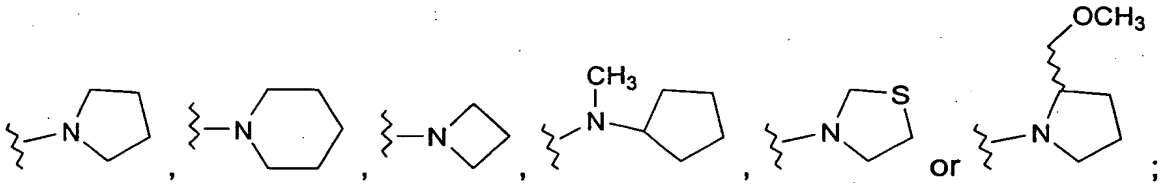


wherein:

A_1 is an L-amino acid selected from the group consisting of alanine, arginine, cyclohexylalanine, proline, tetrahydroisoquinoline-3-COOH, and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, naphthylalanine, homophenylalanine, and O-methyl tyrosine, wherein the substituents on the aromatic amino acid are independently one to two substituents selected from halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, C_1-C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylcarbonyl, cyano, aryl, heteroaryl, arC_1-C_4 alkyl, C_2-C_4 alkenyl, alkynyl, or nitro;

A_2 is an L-amino acid selected from the group consisting of alanine, β-alanine, arginine, citrulline, cysteine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, lysine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), valine, methionine, serine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), homoserine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), threonine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), ornithine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, and histidine, wherein the substituents on the aromatic amino acid are independently one to two substituents selected from halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, C_1-C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylcarbonyl, cyano, aryl, heteroaryl, arC_1-C_4 alkyl, C_2-C_4 alkenyl, alkynyl, or nitro;

R_1 is selected from diethylamino, di-(*n*-propyl)amino,



R_3 is selected from hydrogen, methyl or ethyl;

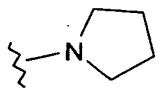
R_4 is selected from 2-indanyl, phenyl, cyclohexylmethyl, cyclopentyl, pyridylmethyl, furanylmethyl, 2-(4-methyl-furanyl)methyl, thienylmethyl, diphenylmethyl, 4-imidazolylethyl, 2-(4-N-methyl)imidazolylethyl, *n*-octyl, phenyl-*n*-propyl, aminoethyl, aminopropyl, amino-*n*-pentyl, dimethylaminoethyl, 4-aminophenylsulfonylaminomethyl, acetamidineylethyl, 2-N-pyrrolidinylethyl, N-ethoxycarbonylpiperidinyl, unsubstituted or substituted phenylethyl and unsubstituted or substituted benzyl wherein the substituents on the phenylethyl or benzyl are independently one or two substituents selected from methyl, fluorine, chlorine, nitro, methoxy, methoxycarbonyl or hydroxymethyl; or

R_3 and R_4, together with the nitrogen to which they are attached, alternatively form a heteroalkyl group selected from piperidinyl or 4-(N-methyl)piperazinyl; and

R_5 is selected from cyclohexyl, 2-naphthyl, phenylethyl, 4-fluorophenylethyl, or unsubstituted or substituted phenyl, where the substituents on the phenyl are independently selected from one to two substituents selected from fluorine, chlorine, iodine, methyl, cyano or trifluoromethyl;

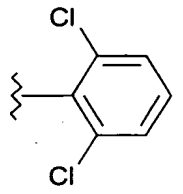
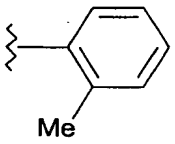
and pharmaceutically acceptable salts thereof.

5. The compound of Claim 4, wherein:

R_1 is  ;

and pharmaceutically acceptable salts thereof.

6. The compound of Claim 5, wherein:

R_5 is selected from  or  ;

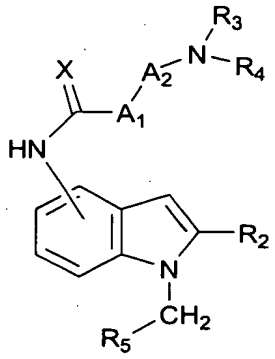
and pharmaceutically acceptable salts thereof.

7. The compound of Claim 6, wherein:

A_1 is selected from 3,4-Difluorophenylalanine or 4-Chlorophenylalanine;
A_2 is selected from 2,4-Diaminobutyric acid or 4-Pyridylalanine;
R_3 is hydrogen; and
R_4 is selected from benzyl or 2-aminoethyl;

and pharmaceutically acceptable salts thereof.

8. A compound of the formula (II):



(II)

wherein:

A_1 and A_2 are each independently a D- or L-amino acid selected from the group consisting of alanine, β-alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, indanylglycine, lysine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), valine, methionine, proline, serine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), homoserine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), ornithine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl-β-alanine, and heteroaryl-β-alanine, wherein the substituents on the aromatic amino acid are independently selected from one or more of halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, C_1-C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylcarbonyl, cyano, aryl, heteroaryl, arC_1-C_4 alkyl, C_2-C_4 alkenyl, alkynyl, or nitro;

R_2 is selected from hydrogen, halogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, arC_1-C_8 alkyl, aryl or heteroaryl;

R_3 and R_4 are each independently selected from hydrogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkylC_1-C_8 alkyl, aryl, heteroalkyl, substituted heteroalkyl (wherein the substituent on the heteroalkyl is one or more substituents independently selected from C_1-C_8 alkoxycarbonyl, C_1-C_8 alkyl, or C_1-C_4 alkylcarbonyl), heteroalkylC_1-C_8 alkyl, indanyl, acetamidinoC_1-C_8 alkyl, aminoC_1-C_8 alkyl, C_1-C_8 alkylaminoC_1-C_8 alkyl, C_1-C_8 dialkylaminoC_1-C_8 alkyl, unsubstituted or substituted heteroarylC_1-C_8 alkyl, or unsubstituted or substituted arC_1-C_8 alkyl, wherein the substituent on the aralkyl or

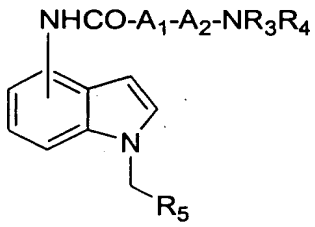
heteroarylalkyl group is one or more substituents independently selected from halogen, nitro, amino, C_1-C_8 alkyl, C_1-C_8 alkoxy, hydroxy, cyano, C_1-C_4 alkylcarbonyl, C_1-C_8 alkoxycarbonyl, hydroxyC_1-C_8 alkyl or aminosulfonyl; or

R_3 and R_4, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from piperidinyl, piperazinyl, morpholinyl or pyrrolidinyl, wherein the substituent is one or more substituents selected from C_1-C_8 alkyl C_1-C_8 alkoxycarbonyl or C_1-C_4 alkylcarbonyl;

R_5 is selected from unsubstituted or substituted aryl, arC_1-C_8 alkyl, C_3-C_8 cycloalkyl, or heteroaryl, where the substituents on the aryl, arC_1-C_8 alkyl, cycloalkyl or heteroaryl group are independently selected from one or more of halogen, nitro, amino, cyano, hydroxyalkyl, C_1-C_8 alkyl, C_1-C_8 alkoxy, hydroxy, C_1-C_4 alkylcarbonyl, C_1-C_8 alkoxycarbonyl, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy or C_1-C_4 alkylsulfonyl; and,

X is oxygen or sulfur; and salts thereof.

9. A process for preparing a compound of the formula (III):



(III)

wherein:

A_1 and A_2 are each independently a D- or L-amino acid selected from the group consisting of alanine, β-alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl,

C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, indanylglycine, lysine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), valine, methionine, proline, serine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), homoserine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C_1-C_4 alkyl, aryl, or arC_1-C_4 alkyl), ornithine (optionally substituted with acyl, C_1-C_4 alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl-β-alanine, and heteroaryl-β-alanine, wherein the substituents on the aromatic amino acid are independently selected from one or more of halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, C_1-C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylcarbonyl, cyano, aryl, heteroaryl, arC_1-C_4 alkyl, C_2-C_4 alkenyl, alkynyl, or nitro;

R_3 and R_4 are each independently selected from hydrogen, C_1-C_8 alkyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkylC_1-C_8 alkyl, aryl, heteroalkyl, substituted heteroalkyl (wherein the substituent on the heteroalkyl is one or more substituents independently selected from C_1-C_8 alkoxycarbonyl, C_1-C_8 alkyl, or C_1-C_4 alkylcarbonyl), heteroalkylC_1-C_8 alkyl, indanyl, acetamidinoC_1-C_8 alkyl, aminoC_1-C_8 alkyl, C_1-C_8 alkylaminoC_1-C_8 alkyl, C_1-C_8 dialkylaminoC_1-C_8 alkyl, unsubstituted or substituted heteroarylC_1-C_8 alkyl or unsubstituted or substituted arC_1-C_8 alkyl, wherein the substituent on the aralkyl or heteroarylalkyl group is one or more substituents independently selected from halogen, nitro, amino, C_1-C_8 alkyl, C_1-C_8 alkoxy, hydroxy, cyano, C_1-C_4 alkylcarbonyl, C_1-C_8 alkoxycarbonyl, hydroxyC_1-C_8 alkyl or aminosulfonyl; or

R_3 and R_4, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from piperidinyl, piperazinyl, morpholinyl or pyrrolidinyl, wherein the substituent

is one or more substituents independently selected from C_1-C_8 alkyl C_1-C_8 alkoxycarbonyl or C_1-C_4 alkylcarbonyl;

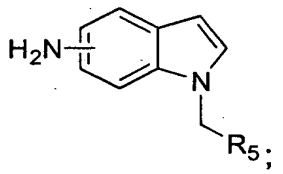
R_5 is selected from unsubstituted or substituted aryl, arC_1-C_8 alkyl, C_3-C_8 cycloalkyl, or heteroaryl, where the substituents on the aryl, arC_1-C_8 alkyl, cycloalkyl or heteroaryl group are independently selected from one or more of halogen, nitro, amino, cyano, hydroxyalkyl, C_1-C_8 alkyl, C_1-C_8 alkoxy, hydroxy, C_1-C_4 alkylcarbonyl, C_1-C_8 alkoxycarbonyl, fluorinated C_1-C_4 alkyl, fluorinated C_1-C_4 alkoxy or C_1-C_4 alkylsulfonyl;

comprising reacting a compound of the formula (IV):

$$H\text{-}A_1\text{-}A_2\text{-}NR_3R_4;$$

(IV)

with a compound of the formula (V):



(V)

in the presence of a phosgene equivalent to form the compound of formula (III).

10. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of Claim 1.

11. A pharmaceutical composition made by mixing a compound of Claim 1 and a pharmaceutically acceptable carrier.

12. A process for making a pharmaceutical composition comprising mixing a compound of Claim 1 and a pharmaceutically acceptable carrier.

13. A method of treating a condition selected from the group consisting of thrombosis, restenosis, hypertension, heart failure, arrhythmia, myocardial infarction, glomerulonephritis, reocclusion following thrombolytic therapy, reocclusion following angioplasty, inflammation, angina, stroke, atherosclerosis, ischemic conditions, a vaso-occlusive disorder, neurodegenerative disorders, Angiogenesis related disorders and cancer in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.

14. The method of Claim 13, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

15. A method of treating a condition selected from the group consisting of thrombosis, restenosis, hypertension, heart failure, arrhythmia, myocardial infarction, glomerulonephritis, reocclusion following thrombolytic therapy, reocclusion following angioplasty, inflammation, angina, stroke, atherosclerosis, ischemic conditions, a vaso-occlusive disorder, neurodegenerative disorders, Angiogenesis related disorders and cancer in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 10.

16. The method of Claim 15, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

17. A method of inhibiting platelet aggregation in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.

18. The method of Claim 17, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

19. A method of inhibiting platelet aggregation in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 10.

20. The method of Claim 19, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

21. A method of treating a condition mediated by thrombin receptor (PAR-1) in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.

22. The method of Claim 21, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

23. A method of treating a condition mediated by thrombin receptor (PAR-1) in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 10.

24. The method of Claim 23, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.